

## (12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization International Bureau



(43) International Publication Date  
10 June 2004 (10.06.2004)

PCT

(10) International Publication Number  
**WO 2004/049274 A2**

(51) International Patent Classification<sup>7</sup>: **G07H**

[GB/CH]; Ramstelweg 19, CH-4143 Dornach (CH).  
**MARTIN, Pierre** [CH/CH]; Meisenweg 38, CH-4310 Rheinfelden (CH).

(21) International Application Number:  
**PCT/EP2003/013113**

(74) Agent: **GRUBB, Philip**; Novartis AG, Corporate Intellectual Property, CH-4002 Basel (CH).

(22) International Filing Date:  
21 November 2003 (21.11.2003)

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SY, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW.

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
0227352.2 22 November 2002 (22.11.2002) GB

(84) Designated States (*regional*): Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR).

(71) Applicant (*for all designated States except AT, US*): **NOVARTIS AG** [CH/CH]; Lichtstrasse 35, CH-4056 Basel (CH).

**Published:**

— without international search report and to be republished upon receipt of that report

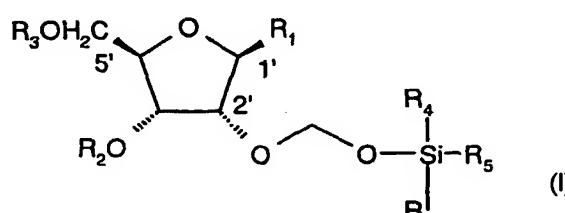
(71) Applicant (*for AT only*): **NOVARTIS PHARMA GMBH** [AT/AT]; Brunner Strasse 59, A-1230 Vienna (AT).

(72) Inventors; and

(75) Inventors/Applicants (*for US only*): **NATT, François, Jean-Charles** [FR/CH]; Traugott Meyer-Strasse 5, CH-4147 Aesch (CH). **HUNZIKER, Jürg** [CH/CH]; Jurastrasse 23, CH-5000 Aarau (CH). **HALL, Jonathan**

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: ORGANIC COMPOUNDS



R<sub>5</sub> or R<sub>6</sub> may also be cyclically connected to each other; and wherein at least one of the R<sub>4</sub>, R<sub>5</sub> or R<sub>6</sub> substituents comprises a tertiary C-atom or a heteroatom vicinal to the Si-atom.

(57) Abstract: The invention provides ribonucleoside derivatives with novel protecting groups and methods for the preparation of such ribonucleoside derivatives. The general formula (I) of the ribonucleoside derivatives is: wherein R<sub>1</sub> is a base of the purine- or pyrimidine-family or a derivative of such a base or any other residue which serves as a nucleobase surrogate, R<sub>2</sub> is a proton or a substituted derivative of phosphonic acid, R<sub>3</sub> is a proton or a protection-group for the oxygen atom in 5'-position, R<sub>4</sub>, R<sub>5</sub> and R<sub>6</sub> are independently alkyl or aryl or a combination of alkyl and aryl or heteroatom, R<sub>4</sub>,

WO 2004/049274 A2